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Control of Axial Chirality: With or without transition metals – That's the question

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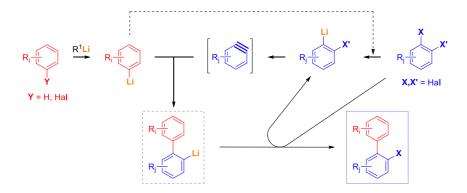
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Biaryls are privileged structures due to their importance in biologically active natural products, in pharmaceutical research, in agrochemistry, or as ligands in catalysis as well as in material sciences. Their stereoselective preparation still remains a challenging goal.

Our group developed the reaction of aryllithium compounds with *ortho*-dihaloarenes, proceeding *via* the *in situ* formation of arynes and giving *ortho*-halobiaryls. This 'ARYNE coupling' has become a robust method for aryl-aryl coupling, and combines several advantages, as the use of cheap and/or easily accessible halogenoaromatic compounds, the access to biaryls bearing two distinct aromatic units and to poly-halogenated biaryls, which can be functionalised further, the use of lithium or magnesium reagents (i.e. in the absence of transition metals), and multi-gram reaction scales.¹



The obtention of atropoenantio- or -diastereo-enriched biaryls by means of the ARYNE coupling will be discussed in the talk and compared to the Suzuki-Miyaura coupling. Finally, the application in the synthesis of ligands will be reported.

⁽¹) a) F. Leroux, M. Schlosser, *Angew. Chem. Int. Ed.* **2002**, *41*, 4272–4274; b) F. R. Leroux, L. Bonnafoux, C. Heiss, F. Colobert, D. A. Lanfranchi, *Adv. Synth. Catal.* **2007**, *349*, 2705–2713; c) L. Bonnafoux, R. Gramage-Doria, F. Colobert, F. R. Leroux, *Chem. Eur. J.* **2011**, *17*, 11008–11016; d) V. Diemer, M. Begaud, F. R. Leroux, F. Colobert, *Eur. J. Org. Chem.* **2011**, 341–354; e) F. R. Leroux, A. Berthelot, L. Bonnafoux, A. Panossian, F. Colobert, *Chem. Eur. J.* **2012**, *18*, 14232–14236.